WEST

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Search Results -

Terms	Documents
L1 same (organophosphate or malathion)	29

Database: US Patents Full-Text Database
US Pre-Grant Publication Full-Text Database
JPO Abstracts Database
EPO Abstracts Database
Derwent World Patents Index
IBM Technical Disclosure Bulletins

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DATE: Thursday, May 22, 2003 Printable Copy Create Case

Set Name side by side	Query	Hit Count	Set Name result set
DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=ADJ			
L2	L1 same (organophosphate or malathion)	29	L2
L1	carboxylesterase	347	L1

END OF SEARCH HISTORY

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Search Results - Record(s) 1 through 29 of 29 returned.

1. Document ID: US 20030091975 A1

L2: Entry 1 of 29 File: PGPB May 15, 2003

PGPUB-DOCUMENT-NUMBER: 20030091975
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030091975 A1

TITLE: Multiple determinants for metabolic phenotypes

PUBLICATION-DATE: May 15, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 435/4; 424/9.2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw Desc | Image

2. Document ID: US 20030077222 A1

L2: Entry 2 of 29 File: PGPB Apr 24, 2003

PGPUB-DOCUMENT-NUMBER: 20030077222
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030077222 A1

TITLE: Individualization of therapy with analgesics

PUBLICATION-DATE: April 24, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 424/9.1; 435/7.92

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw Desc | Image

3. Document ID: US 20030073133 A1

L2: Entry 3 of 29 File: PGPB Apr 17, 2003

PGPUB-DOCUMENT-NUMBER: 20030073133
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030073133 A1

TITLE: Individualization of therapy with erectile dysfunction agents

PUBLICATION-DATE: April 17, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 435/7.1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw Desc | Image

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4. Document ID: US 20030072710 A1

L2: Entry 4 of 29 File: PGPB Apr 17, 2003

PGPUB-DOCUMENT-NUMBER: 20030072710
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030072710 A1

TITLE: Individualization of therapy with antidepressants

PUBLICATION-DATE: April 17, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 424/9.1; 424/9.2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | KWIC | Draw Desc | Image

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5. Document ID: US 20030068273 A1

L2: Entry 5 of 29 File: PGPB Apr 10, 2003

PGPUB-DOCUMENT-NUMBER: 20030068273
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030068273 A1

TITLE: Individualization of therapy with immunosuppressants

PUBLICATION-DATE: April 10, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 424/9.2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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6. Document ID: US 20030053950 A1

L2: Entry 6 of 29 File: PGPB Mar 20, 2003

PGPUB-DOCUMENT-NUMBER: 20030053950
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030053950 A1

TITLE: Individualization of therapy with hyperlipidemia agents

PUBLICATION-DATE: March 20, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 424/9.1; 435/7.1

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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7. Document ID: US 20030049204 A1

L2: Entry 7 of 29 File: PGPB Mar 13, 2003

PGPUB-DOCUMENT-NUMBER: 20030049204
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20030049204 A1

TITLE: Individualization of therapy with gastroesophageal reflux disease agents

PUBLICATION-DATE: March 13, 2003

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Leyland-Jones, Brian	Miami	FL	US	

US-CL-CURRENT: 424/9.1; 435/7.92

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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8. Document ID: US 20020184655 A1

L2: Entry 8 of 29 File: PGPB Dec 5, 2002

PGPUB-DOCUMENT-NUMBER: 20020184655
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020184655 A1

TITLE: METHODS FOR THE DEGRADATION AND DETOXIFICATION OF ORGANIC MATERIAL USING URINE PRODUCED BY TRANSGENIC ANIMALS AND RELATED TRANSGENIC ANIMALS AND PROTEINS

PUBLICATION-DATE: December 5, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
LUBON, HENRYK	ROCKVILLE	MD	US	
PALEYANDA, REKHA	GAITHERSBURG	MD	US	
DROHAN, WILLIAM	SPRINGFIELD	VA	US	
VELANDER, WILLIAM	BLACKSBURG	VA	US	

US-CL-CURRENT: 800/4; 800/14, 800/18, 800/24, 800/8

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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9. Document ID: US 20020182636 A1

L2: Entry 9 of 29 File: PGPB Dec 5, 2002

PGPUB-DOCUMENT-NUMBER: 20020182636
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020182636 A1

TITLE: 53010, a novel human carboxylesterase family member and uses thereof

PUBLICATION-DATE: December 5, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Curtis, Rory A. J.	Southborough	MA	US	
Silos-Santiago, Inmaculada	Jamaica Plain	MA	US	

US-CL-CURRENT: 435/7.1; 435/196, 435/320.1, 435/325, 435/69.1, 530/388.26, 536/23.2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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10. Document ID: US 20020168713 A1

L2: Entry 10 of 29 File: PGPB Nov 14, 2002

PGPUB-DOCUMENT-NUMBER: 20020168713
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020168713 A1

TITLE: 46980, a novel human neuroligin family member and uses thereof

PUBLICATION-DATE: November 14, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Curtis, Rory A.J.	Southborough	MA	US	

US-CL-CURRENT: 435/69.1; 435/320.1, 435/325, 536/23.2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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11. Document ID: US 20020151068 A1

L2: Entry 11 of 29 File: PGPB Oct 17, 2002

PGPUB-DOCUMENT-NUMBER: 20020151068
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020151068 A1

TITLE: Compositions and methods for the diagnosis and treatment of organophosphate toxicity

PUBLICATION-DATE: October 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Haley, Robert	Dallas	TX	US	
Varley, Alan	Plano	TX	US	
Munford, Robert	Dallas	TX	US	

US-CL-CURRENT: 435/456; 435/320.1, 514/44

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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12. Document ID: US 20020150910 A1

L2: Entry 12 of 29 File: PGPB Oct 17, 2002

PGPUB-DOCUMENT-NUMBER: 20020150910
PGPUB-FILING-TYPE: new
DOCUMENT-IDENTIFIER: US 20020150910 A1

TITLE: 33410, a novel human carboxylesterase family member and uses thereof

PUBLICATION-DATE: October 17, 2002

INVENTOR-INFORMATION:

NAME	CITY	STATE	COUNTRY	RULE-47
Curtis, Rory A.J.	Southborough	MA	US	

US-CL-CURRENT: 435/6; 435/196, 435/320.1, 435/325, 435/69.1, 435/7.1, 530/388.26, 536/23.2

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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13. Document ID: US 6436437 B1

L2: Entry 13 of 29 File: USPT Aug 20, 2002

US-PAT-NO: 6436437
DOCUMENT-IDENTIFIER: US 6436437 B1

TITLE: Covalent polar lipid conjugates with neurologically active compounds for targeting

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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14. Document ID: US 6387876 B1

L2: Entry 14 of 29 File: USPT May 14, 2002

US-PAT-NO: 6387876
DOCUMENT-IDENTIFIER: US 6387876 B1

TITLE: Covalent polar lipid-conjugates with biologically active compounds for use in salves

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

15. Document ID: US 6339060 B1

L2: Entry 15 of 29 File: USPT Jan 15, 2002

US-PAT-NO: 6339060
DOCUMENT-IDENTIFIER: US 6339060 B1

TITLE: Conjugate of biologically active compound and polar lipid conjugated to a microparticle for biological targeting

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

16. Document ID: US 6291222 B1

L2: Entry 16 of 29 File: USPT Sep 18, 2001

US-PAT-NO: 6291222
DOCUMENT-IDENTIFIER: US 6291222 B1

TITLE: Carboxylesterase nucleic acid molecules and uses thereof

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

17. Document ID: US 6235515 B1

L2: Entry 17 of 29 File: USPT May 22, 2001

US-PAT-NO: 6235515
DOCUMENT-IDENTIFIER: US 6235515 B1

TITLE: Malathion carboxylesterase

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

18. Document ID: US 6063759 A

L2: Entry 18 of 29 File: USPT May 16, 2000

US-PAT-NO: 6063759
DOCUMENT-IDENTIFIER: US 6063759 A

TITLE: Conjugate of biologically active compound and polar lipid conjugated to a microparticle for biological targeting

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments KWIC | Draw Desc | Image

19. Document ID: US 6063610 A

L2: Entry 19 of 29 File: USPT May 16, 2000

US-PAT-NO: 6063610
DOCUMENT-IDENTIFIER: US 6063610 A

TITLE: Carboxylesterase nucleic acid molecules, proteins and uses thereof

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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20. Document ID: US 6024977 A

L2: Entry 20 of 29 File: USPT Feb 15, 2000

US-PAT-NO: 6024977
DOCUMENT-IDENTIFIER: US 6024977 A

TITLE: Covalent polar lipid conjugates with neurologically active compounds for targeting

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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21. Document ID: US 6001625 A

L2: Entry 21 of 29 File: USPT Dec 14, 1999

US-PAT-NO: 6001625
DOCUMENT-IDENTIFIER: US 6001625 A

TITLE: Site-directed mutagenesis of esterases

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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22. Document ID: US 5965519 A

L2: Entry 22 of 29 File: USPT Oct 12, 1999

US-PAT-NO: 5965519
DOCUMENT-IDENTIFIER: US 5965519 A

TITLE: Covalent polar lipid conjugates with biologically-active compounds for use in salves

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

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23. Document ID: US 5843758 A

L2: Entry 23 of 29 File: USPT Dec 1, 1998

US-PAT-NO: 5843758
DOCUMENT-IDENTIFIER: US 5843758 A

TITLE: Enzyme based bioremediation

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

24. Document ID: US 5827819 A

L2: Entry 24 of 29 File: USPT Oct 27, 1998

US-PAT-NO: 5827819
DOCUMENT-IDENTIFIER: US 5827819 A

TITLE: Covalent polar lipid conjugates with neurologically active compounds for targeting

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

25. Document ID: US 5716831 A

L2: Entry 25 of 29 File: USPT Feb 10, 1998

US-PAT-NO: 5716831
DOCUMENT-IDENTIFIER: US 5716831 A

TITLE: Method and test kit for detecting insecticide resistance

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

26. Document ID: US 4064237 A

L2: Entry 26 of 29 File: USPT Dec 20, 1977

US-PAT-NO: 4064237
DOCUMENT-IDENTIFIER: US 4064237 A
**** See image for Certificate of Correction ****

TITLE: Synergistic pesticidal mixtures of phosalone and malathion and process for controlling arthropods therewith

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

27. Document ID: WO 9719176 A1

L2: Entry 27 of 29 File: EPAB May 29, 1997

PUB-NO: WO009719176A1
DOCUMENT-IDENTIFIER: WO 9719176 A1
TITLE: MALATHION CARBOXYLESTERASE

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | KWIC | Draw Desc | Image

28. Document ID: US 6001625 A

L2: Entry 28 of 29 File: DWPI Dec 14, 1999

DERWENT-ACC-NO: 2000-096137
DERWENT-WEEK: 200008

TITLE: Enhancing the organophosphate detoxifying capabilities of esterases for the treatment of organophosphate poisoning

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | Draw Desc | Image

29. Document ID: WO 9719176 A1 US 6235515 B1 AU 9675572 A ZA 9609824 A EP 862636 A1 AU 700336 B NZ 321919 A BR 9611627 A MX 9804053 A1 JP 2000504203 W

L2: Entry 29 of 29 File: DWPI May 29, 1997

DERWENT-ACC-NO: 1997-298113
DERWENT-WEEK: 200130

TITLE: DNA encoding enzyme that degrades organo:phosphate pesticides - useful for decontamination of soil, water, food etc

Full | Title | Citation | Front | Review | Classification | Date | Reference | Sequences | Attachments | Claims | Draw Desc | Image

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Terms	Documents
L1 same (organophosphate or malathion)	29

Display Format: - Change Format

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(FILE 'HOME' ENTERED AT 14:43:07 ON 22 MAY 2003)

FILE 'BIOSIS, CAPLUS, EMBASE, MEDLINE, SCISEARCH' ENTERED AT 14:43:27 ON 22 MAY 2003

L1 206 S MALATHION CARBOXYLESTERASE
L2 1932 S L1 AND CUPRINA OR TARSALIS
L3 57 S L1 AND (CUPRINA OR TARSALIS)
L4 33 S L3 AND (ISOLAT? OR PURIF? OR CHARAC?)
L5 13 DUP REM L4 (20 DUPLICATES REMOVED)

=> d l5 ibib ab 1-13

L5 ANSWER 1 OF 13 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 2002:831160 SCISEARCH
THE GENUINE ARTICLE: 599XW
TITLE: **Purification** and **characterization** of a carboxylesterase involved in malathion-specific resistance from Tribolium castaneum (Coleoptera : Tenebrionidae)
AUTHOR: Haubruge E (Reprint); Amichot M; Cuany A; Berge J B; Arnaud L
CORPORATE SOURCE: Gembloux Agr Univ, Dept Pure & Appl Zool, B-5030 Gembloux, Belgium (Reprint); INRA, Lab Biol Invertebres, Ctr Antibes, F-06606 Antibes, France
COUNTRY OF AUTHOR: Belgium; France
SOURCE: INSECT BIOCHEMISTRY AND MOLECULAR BIOLOGY, (SEP 2002) Vol. 32, No. 9, pp. 1181-1190.
Publisher: PERGAMON-ELSEVIER SCIENCE LTD, THE BOULEVARD, LANGFORD LANE, KIDLINGTON, OXFORD OX5 1GB, ENGLAND.
ISSN: 0965-1748.
DOCUMENT TYPE: Article; Journal
LANGUAGE: English
REFERENCE COUNT: 40
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Specific resistance to malathion in a strain of Tribolium castaneum is due to a 44-fold increase in **malathion carboxylesterase** (MCE) activity relative to a susceptible strain, whereas non-specific esterase levels are slightly lower. Unlike the overproduced esterase of some mosquito and aphid species, MCE in Tribolium castaneum accounts for only a small fraction (0.033-0.045%) of the total extractable protein respectively in resistant and susceptible strains. The enzyme was **purified** to apparent homogeneity from these two strains and has a similar molecular weight of 62,000. However, preparative isoelectricfocusing indicated that resistant insects possess one MCE with pI of 7.3, while susceptible insects possess a MCE with a pI of 6.6. **Purified** MCE from both populations had different K-m and V-m values for hydrolysis of malathion as well as for alpha-naphthyl acetate. The kinetic analysis suggests that MCE of resistant insects hydrolyses malathion faster than the **purified** carboxylesterase from susceptible beetles and that this enzyme has greater affinity for malathion than for naphthyl esters. Malathion-specific resistance is due to the presence of a qualitatively different esterase in the resistant strain.

L5 ANSWER 2 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 1
ACCESSION NUMBER: 2000:187424 BIOSIS
DOCUMENT NUMBER: PREV200000187424
TITLE: MCE activities and malathion resistances in field populations of the Australian sheep blowfly (Lucilia **cuprina**.
AUTHOR(S): Smyth, Kerrie-Ann (1); Boyce, Thomas M.; Russell, Robyn J.; Oakeshott, John G.
CORPORATE SOURCE: (1) Institute of Cellular and Molecular Biology, University of Texas at Austin, Molecular Biology Building, Austin, TX, 78712-1095 USA
SOURCE: Heredity, (Jan., 2000) Vol. 84, No. 1, pp. 63-72.
ISSN: 0018-067X.
DOCUMENT TYPE: Article
LANGUAGE: English
SUMMARY LANGUAGE: English

AB Malathion resistance has been shown to be result of a single point mutation in the LcalphaE7 gene in four independently **isolated** chromosomes of Lucilia **cuprina**. The resultant amino acid substitution specifies high **malathion carboxylesterase**

(MCE) activity. We have assayed MCE activities and resistance to malathion in three sets of field-derived samples, two sets of isogenic lines and five mass populations, and show that resistance to malathion in these samples is associated with high MCE activity in both sets of isogenic lines and four of the five mass populations. Additional mechanisms contributing to MCE activity or malathion resistance may be present in one of the mass populations. A second point mutation in LcalphaE7 is responsible for conferring diazinon resistance by encoding an increased organophosphate (OP) hydrolase activity. We also assayed diazinon resistances from the same three samples and show that diazinon and malathion resistances were in complete disequilibrium, with two exceptions. One exception involves the mass population with additional resistance mechanism(s) and the other involves three isogenic lines that are resistant to both insecticides. The molecular data for these lines suggest that they carry a duplication of the LcalphaE7 gene.

L5 ANSWER 3 OF 13 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 1999:56480 SCISEARCH
THE GENUINE ARTICLE: 154CR
TITLE: **Characterization** of esterases in malathion-resistant and susceptible strains of the pteromalid parasitoid Anisopteromalus calandrae
AUTHOR: Baker J E (Reprint); Fabrick J A; Zhu K Y
CORPORATE SOURCE: USDA ARS, GRAIN MKT PROD & RES CTR, 1515 COLL AVE, MANHATTAN, KS 66502 (Reprint); KANSAS STATE UNIV, DEPT ENTOMOL, MANHATTAN, KS 66506
COUNTRY OF AUTHOR: USA
SOURCE: INSECT BIOCHEMISTRY AND MOLECULAR BIOLOGY, (DEC 1998) Vol. 28, No. 12, pp. 1039-1050.
Publisher: PERGAMON-ELSEVIER SCIENCE LTD, THE BOULEVARD, LANGFORD LANE, KIDLINGTON, OXFORD OX5 1GB, ENGLAND.
ISSN: 0965-1748.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: LIFE; AGRI
LANGUAGE: English
REFERENCE COUNT: 71
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB General esterase, malathion-specific carboxylesterase, phosphotriesterase, glutathione S-transferase, cytochrome P-450-dependent monooxygenase activity, and target site sensitivity were compared in malathion-resistant (R) and malathion-susceptible (S) strains of the parasitoid Anisopteromalus calandrae (Howard) (Hymenoptera: Pteromalidae). Activity against alpha-naphthyl acetate was not significantly different in male and female wasps for either strain. General esterase activity ranged from 1.2-fold to 2.5-fold higher in the R strain compared with the S strain, but these differences between strains were not consistent. Based on V-max/K-m ratios estimated for a number of analogs of four substrates (alpha-naphthyl acetate, beta-naphthyl acetate, 4-methylumbelliferyl acetate, and p-nitrophenyl acetate) there was no evidence that general esterase activity was elevated or reduced in the R strain. Malathion-specific carboxylesterase (MCE) activity, determined by using 2,3-C-14-malathion as substrate, was 10- to 30-fold higher in the R strain compared with that in the S strain. The MCE. has a pH optima at about pH 7, is cytosolic, and is labile upon storage at - 80 degrees C. MCE activity could be recovered from native 10% PAGE gels and IEF-PAGE gels (pI = 5.2), but the peak of MCE activity also contained the major peak of activity against cy-naphthyl acetate. There was no evidence for major involvement of phosphotriesterase, glutathione S-transferase, monooxygenase, or altered acetylcholinesterase in the resistance. These data suggest that an increased activity of a MCE in the R strain is the probable major mechanism conferring resistance to malathion in A. calandrae. This study provides the first **characterization** of a biochemical resistance mechanism in a parasitoid with a high level of resistance to an organophosphate insecticide. (C) 1998 Elsevier Science

L5 ANSWER 4 OF 13 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 1998:362837 SCISEARCH
THE GENUINE ARTICLE: ZL902
TITLE: Cross-resistance patterns among Lucilia **cuprina** (Diptera: Calliphoridae) resistant to organophosphorus insecticides
AUTHOR: Campbell P M (Reprint); Yen J L; Masoumi A; Russell R J; Batterham P; McKenzie J A; Oakeshott J G
CORPORATE SOURCE: CSIRO, DIV ENTOMOL, POB 1700, CANBERRA, ACT 2601, AUSTRALIA (Reprint)
COUNTRY OF AUTHOR: AUSTRALIA
SOURCE: JOURNAL OF ECONOMIC ENTOMOLOGY, (APR 1998) Vol. 91, No. 2, pp. 367-375.
Publisher: ENTOMOL SOC AMER, 9301 ANNAPOLIS RD, LANHAM, MD 20706.
ISSN: 0022-0493.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: AGRI
LANGUAGE: English
REFERENCE COUNT: 43

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Strains of Lucilia **cuprina** (Wiedemann) have been **characterized** as having low, intermediate, or high levels of esterase-mediated hydrolysis Of the organophosphorus insecticide, chlorfenvinphos. These levels correlate respectively with susceptibility to organophosphorus insecticides, malathion resistance, or diazinon resistance. Diazinon and chlorfenvinphos are diethyl organophosphorus insecticides having 2 ethoxy groups attached to their central phosphorus atom, whereas malathion is a dimethyl organophosphorus insecticide having 2 methoxy groups attached to its phosphorus atom, and, unusually, malathion also has 2 carboxylester bonds in addition to the phosphoester bonds that define organophosphorus compounds. We tested larvae for resistance to diazinon and also assessed representative malathion-resistant and diazinon-resistant L. **cuprina** strains at the adult stage for resistance to 12 organophosphorus insecticides, including analog pairs differing only in respect to their dimethyl-diethyl status. Two malathion-resistant strains have low-level cross-resistance to diazinon (3 to 4-fold), 4 diazinon-resistant strains have high-level diazinon resistance (11 to 16-fold), and 2 strains with a combined (malathion plus diazinon) resistance type also have high-level diazinon resistance (17 to 18-fold) relative to 3 organophosphorus insecticide-susceptible strains. One of the diazinon-resistant strains showed approximate to 2 times greater resistance factors toward diethyl organophosphorus insecticides than their dimethyl analogs while (leaving aside malathion to consider only the majority which have no carboxylester groups) a malathion-resistant strain showed 2-5 times greater resistance factors toward the dimethyl organophosphorus insectides than their diethyl analogs. The diazinon-resistant strain showed no resistance to 2 di-isopropyl organophosphorus compounds or to 2 organophosphorus insecticides which are asymmetric about the phosphorus atom (optically active). The malathion-resistant strain showed only slight resistance (<3-fold) to either the di-isopropyl or optically active organophosphorus insecticides, including the di-isobropyl analog of malathion. These cross-resistance patterns parallel those of certain organophosphorus insecticide-resistant strains of Musca domestica L., in which diazinon and malathion resistances also are proposed to be esterase mediated, reinforcing other biochemical data suggesting a general mechanism among the higher Diptera.

L5 ANSWER 5 OF 13 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 1998:422333 SCISEARCH
THE GENUINE ARTICLE: ZQ240

TITLE: Propetamphos resistance in the Australian sheep blowfly, Lucilia **cuprina** (Wiedemann) (Diptera: Calliphoridae)
AUTHOR: Smyth K A (Reprint); Russell R J; Oakeshott J G
CORPORATE SOURCE: SYRACUSE UNIV, DEPT BIOL, BIOL RES LABS, SYRACUSE, NY 13244 (Reprint); CSIRO, DIV ENTOMOL, CANBERRA, ACT 2601, AUSTRALIA
COUNTRY OF AUTHOR: USA; AUSTRALIA
SOURCE: AUSTRALIAN JOURNAL OF ENTOMOLOGY, (3 APR 1998) Vol. 37, Part 1, pp. 57-59.
Publisher: BLACKWELL SCIENCE, 54 UNIVERSITY ST, P O BOX 378, CARLTON VICTORIA 3053, AUSTRALIA.
ISSN: 1326-6756.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: AGRI
LANGUAGE: English
REFERENCE COUNT: 20

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Lucilia **cuprina** lines, previously **characterised** by their resistance to diazinon and malathion, were tested for their resistance to another organophosphate, propetamphos. All 13 lines tested showed no difference in propetamphos tolerance, regardless of their resistance to diazinon, malathion or both. It is concluded that resistance to one structural type of organophosphate does not necessarily confer resistance to another.

L5 ANSWER 6 OF 13 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:450131 CAPLUS
DOCUMENT NUMBER: 127:77923
TITLE: **Malathion carboxylesterases** of resistant Lucilia **cuprina** for bioremediation of insecticide contamination
INVENTOR(S): Russell, Robyn Joyce; Newcomb, Richard David; Campbell, Peter Malcolm; Robin, Geoffrey Charles De Quetteville; Claudianos, Charles; Smyth, Kerrie-ann; Boyce, Thomas Mark; Oakeshott, John Graham; Brownlie, Jeremy Colin; et al.
PATENT ASSIGNEE(S): Commonwealth Scientific and Industrial Research Organisation, Australia; Russell, Robyn Joyce; Newcomb, Richard David; Campbell, Peter Malcolm
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719176	A1	19970529	WO 1996-AU746	19961122
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236793	AA	19970529	CA 1996-2236793	19961122
AU 9675572	A1	19970611	AU 1996-75572	19961122
AU 700336	B2	19981224		
ZA 9609824	A	19970708	ZA 1996-9824	19961122
EP 862636	A1	19980909	EP 1996-937941	19961122
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,				

IE, FI

BR 9611627	A	19990406	BR 1996-11627		19961122
JP 2000504203	T2	20000411	JP 1997-519237		19961122
US 6235515	B1	20010522	US 1998-68960		19980520
PRIORITY APPLN. INFO.:			AU 1995-6751	A	19951123
			WO 1996-AU746	W	19961122

AB Genes and cDNAs encoding **malathion carboxylesterases** of the sheep blowfly (Lucilia **cuprina**) that are capable of hydrolyzing at least one organophosphate selected from the group consisting of carboxylester organophosphates and dimethyloxon organophosphates are described. Genes encoding several isoenzymes are identified and the enzymes **characterized** and the preferred enzymes are variants of the isoenzyme encoded by the Lc.alpha.E7 gene. The preferred analogs have an amino acid substitution of Trp-251 selected from the group consisting of Leu, Ser, Ala, Ile, Val, Thr, Cys, Met and Gly. The preferred substituents are Leu and Ser. These substitutions were identified by sequencing of a no. of cloned genes from Lucilia and the orthologous enzyme from Musca domestica.

L5 ANSWER 7 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 2

ACCESSION NUMBER: 1997:391285 BIOSIS
DOCUMENT NUMBER: PREV199799690488
TITLE: **Isolation** and **characterization** of an unamplified esterase B3 gene from malathion-resistant Culex **tarsalis**.
AUTHOR(S): Tittiger, Claus; Walker, Virginia K. (1)
CORPORATE SOURCE: (1) Dep. Biol., Queen's Univ., Kingston, ON K7L 3N6 Canada
SOURCE: Biochemical Genetics, (1997) Vol. 35, No. 3-4, pp. 119-138. ISSN: 0006-2928.
DOCUMENT TYPE: Article
LANGUAGE: English

AB A malathion-resistant strain of Culex **tarsalis** has a **malathion carboxylesterase** which rapidly hydrolyzes the insecticide. This is in contrast to organophosphate-resistant strains of C. quinquefasciatus and C. pipiens, which have elevated levels of general B esterases due to amplification of the corresponding genes, producing increased amounts of enzyme which appear to protect the insects by sequestering the insecticide. The contribution to resistance of the homologous esterase B3 (Est-beta-3) gene (est-beta-3) in C. **tarsalis** was investigated by cloning and **characterizing** sequences from resistant and susceptible strains. est-beta-3 is similar to est-beta-1, both structurally and in sequence. The first intron of est-beta-3, however has a region of extensive repeats which may be responsible for the inefficient processing of the transcript. Southern blots indicate that the gene is single copy in both strains, and northern blots show that it is not greatly overexpressed in the resistant insects. est-beta-3 cDNAs from resistant and susceptible strains have 98% amino acid identity. It appears that, in contrast to other studies, est-beta-3 does not play a significant role in insecticide resistance in our strains of C. **tarsalis**, and the molecular responses of pest insects to organophosphates may be more diverse than has been suggested.

L5 ANSWER 8 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 3

ACCESSION NUMBER: 1995:413994 BIOSIS
DOCUMENT NUMBER: PREV199598428294
TITLE: **Characterization** of a novel esterase conferring insecticide resistance in the mosquito Culex **tarsalis**.
AUTHOR(S): Whyard, Steven; Downe, Aylward E. R.; Walker, Virginia K. (1)
CORPORATE SOURCE: (1) Dep. Biol., Queen's Univ., Kingston, ON K7L 3N6 Canada
SOURCE: Archives of Insect Biochemistry and Physiology, (1995) Vol.

29, No. 4, pp. 329-342.
ISSN: 0739-4462.
DOCUMENT TYPE: Article
LANGUAGE: English
AB Resistance to the organophosphate insecticide, malathion, in a strain of Culex **tarsalis** mosquitoes is due to increased activity of a **malathion carboxylesterase** (MCE). To determine whether resistance was due to a qualitative or quantitative change in the MCE, the enzyme was **purified** from both malathion-resistant and -susceptible mosquitoes. Enzyme kinetic measurements revealed that the two strains have one MCE in common, but resistant mosquitoes also have a unique MCE which hydrolyses malathion 18 times faster. Interestingly, this MCE does not hydrolyse alpha-naphthyl acetate, a substrate commonly used to detect increased levels of esterases in other organophosphate-resistant insects. Unlike the over-produced esterase of some related mosquito species, each MCE in C. **tarsalis** accounts for only a small fraction (0.015%) of the total extractable protein in either strain. Therefore, resistance in these insects is due to the presence of a qualitatively different enzyme, and not to a quantitative increase of a non-specific esterase. This study therefore demonstrates that the underlying biochemical mechanisms of insecticide resistance in one insect cannot necessarily be predicted from those of another, even closely related species.

L5 ANSWER 9 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 4
ACCESSION NUMBER: 1994:500223 BIOSIS
DOCUMENT NUMBER: PREV199497513223
TITLE: **Isolation** of an esterase conferring insecticide resistance in the mosquito Culex **tarsalis**.
AUTHOR(S): Whyard, S.; Downe, A. E. R.; Walker, V. K. (1)
CORPORATE SOURCE: (1) Dep. Biol. Insect Biotech Canada, Queen's Univ., Kingston, ON K7L 3N6 Canada
SOURCE: Insect Biochemistry and Molecular Biology, (1994) Vol. 24, No. 8, pp. 819-827.
ISSN: 0965-1748.
DOCUMENT TYPE: Article
LANGUAGE: English
AB Malathion resistance in a strain of Culex **tarsalis** mosquitoes is due primarily to the activity of a **malathion carboxylesterase** (MCE). The resistant strain was 150 times more resistant to malathion than the susceptible strain and was weakly resistant to malaoxon and carbaryl, but not to any other insecticide tested. The phenotype could be reversed with the carboxylesterase inhibitor triphenylphosphate, but no synergism was observed with either the phosphatase or polysubstrate monooxygenase inhibitors, NaF and piperonyl butoxide. MCE is expressed throughout development and is most concentrated in the gut tissues of the larvae. Subcellular fractionation indicated that MCE was localized primarily in the mitochondria of resistant insects and the cytoplasm of susceptible insects. The enzyme was **purified** to homogeneity from both strains. and has a molecular weight of 59,000. However, chromatofocusing indicated that resistant insects have two MCEs with pIs of 6.8 and 6.2, while susceptible insects possessed only one MCE with a pI of 6.8. The MCE unique to the resistant strain hydrolysed malathion 18 times faster than the MCE common to both strains, suggesting that malathion resistance in C. **tarsalis** is due to the presence of a qualitatively different esterase in the resistant strain.

L5 ANSWER 10 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 5
ACCESSION NUMBER: 1995:109131 BIOSIS
DOCUMENT NUMBER: PREV199598123431
TITLE: **Characterization** of **malathion**

carboxylesterase in the sheep blowfly Lucilia **cuprina**.

AUTHOR(S): Whyard, Steven; Walker, Virginia K. (1)

CORPORATE SOURCE: (1) Dep. Biol. Insect Biotech Canada, Queen's Univ., Kington, ON K7L 3N6 Canada

SOURCE: Pesticide Biochemistry and Physiology, (1994) Vol. 50, No. 3, pp. 198-206.
ISSN: 0048-3575.

DOCUMENT TYPE: Article

LANGUAGE: English

AB Resistance to malathion in a strain of the Australian sheep blowfly is due to a 10-fold increase in **malathion carboxylesterase** (MCE) activity relative to a more susceptible strain. MCE was **purified** to apparent homogeneity from these two strains and was shown to be a monomer of 60,500, with a pl of 5.5 in both strains. **Purified** MCE from both populations had identical K-m and V-max Values for the hydrolysis of malathion as well as for three other esterase substrates. Similarly, the kinetics of inhibition by several inhibitors were the same for the MCE from each strain. These data therefore suggest that resistance to malathion is due to a quantitative rather than a qualitative change in the MCE of the two strains. Estimation of the total MCE content in each strain showed that the resistant blowflies had nine times more MCE than the more susceptible insects. Although blowfly MCE showed greater specificity for naphthyl esters over malathion, it nevertheless hydrolyzes malathion faster than any other esterase yet **isolated** from an insect. This is in sharp contrast to previously studied insect strains in which organophosphate resistance has been attributed to large increases in nonspecific esterases that show very slow or no hydrolysis of the insecticides.

L5 ANSWER 11 OF 13 MEDLINE

ACCESSION NUMBER: 94304400 MEDLINE

DOCUMENT NUMBER: 94304400 PubMed ID: 8031294

TITLE: A cluster of esterase genes on chromosome 3R of Drosophila melanogaster includes homologues of esterase genes conferring insecticide resistance in Lucilia **cuprina**.

AUTHOR: Spackman M E; Oakeshott J G; Smyth K A; Medveczky K M; Russell R J

CORPORATE SOURCE: CSIRO Division of Entomology, Canberra, ACT.

SOURCE: BIOCHEMICAL GENETICS, (1994 Feb) 32 (1-2) 39-62.
Journal code: 0126611. ISSN: 0006-2928.

PUB. COUNTRY: United States

DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)

LANGUAGE: English

FILE SEGMENT: Priority Journals

ENTRY MONTH: 199408

ENTRY DATE: Entered STN: 19940818
Last Updated on STN: 19940818
Entered Medline: 19940805

AB We identify an esterase isozyme in Drosophila melanogaster, EST 23, which shares biochemical, physiological, and genetic properties with esterase E3, which is involved in resistance to organophosphate insecticides in Lucilia **cuprina**. Like E3, the D. melanogaster EST 23 is a membrane-bound alpha-esterase which migrates slowly toward the anode at pH 6.8. Both enzymes have similar preferences for substrates with shorter acid side chain lengths. Furthermore, on the basis of their high sensitivity to inhibition by paraoxon and their insensitivity to inhibition by eserine sulfate, both enzymes were classified as subclass I carboxylesterases. The activity of each enzyme peaks early in development and, again, in the adult stage. Both enzymes are found in the male reproductive system and larval and adult digestive tissues, the latter being consistent with a role for these enzymes in organophosphate resistance. Fine structure deficiency mapping localized Est 23 to

cytological region 84D3 to E1-2 on the right arm of chromosome 3. Moreover, we show that the genes encoding three other esterase phenotypes also map to the same region; these phenotypes involve allozymic differences in EST 9 (formerly EST C), ali-esterase activity, defined by the hydrolysis of methyl butyrate, and **malathion carboxylesterase** activity, defined by hydrolysis of the organophosphate malathion. This cluster corresponds closely to that encompassing E3 and **malathion carboxylesterase** on chromosome 4 in L. **cuprina**, the homologue of chromosome 3R in D. melanogaster.

L5 ANSWER 12 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 6

ACCESSION NUMBER: 1994:272233 BIOSIS
DOCUMENT NUMBER: PREV199497285233
TITLE: Insecticide resistance and **malathion carboxylesterase** in the sheep blowfly, Lucilia **cuprina**.
AUTHOR(S): Whyard, Steven; Russell, Robyn J.; Walker, Virginia K. (1)
CORPORATE SOURCE: (1) Dep. Biol. Insect Biotech Canada, Queen's Univ., Kingston, ON K7L 3N6 Canada
SOURCE: Biochemical Genetics, (1994) Vol. 32, No. 1-2, pp. 9-24. ISSN: 0006-2928.
DOCUMENT TYPE: Article
LANGUAGE: English

AB Resistance to the organophosphorus insecticide malathion in genetically related strains of the Australian sheep blowfly Lucilia **cuprina** was examined. Separate lines of blowflies were established by homozygosis of the fourth chromosome of the parental RM strain. Both the RM and the derived resistant (der-R) strains are approximately 100 times more resistant to malathion than the related susceptible der-S strain, resistance being correlated with a 45- to 50-fold increase in a **malathion carboxylesterase** (MCE) activity. MCE has a pH optimum ranging between 6.6 and 8.0 and is strongly inhibited by the carboxylesterase inhibitors triphenyl phosphate, paraoxon, and diisopropylfluorophosphate. Subcellular fractionation revealed that MCE was localized predominantly to the cytosol and mitochondria in both resistant and susceptible blowflies. A single MCE was **purified** to homogeneity from PM blowflies. It has a pI of 5.5, is a monomer of 60.5 kDa, and hydrolyzes malathion with a V-max of 755 nmol/min/mg protein and a K-m of 11.0 mu-M. L. **cuprina** have thus evolved a remarkable MCE which is faster and more efficient at hydrolyzing a specific insecticide than any other insect esterase yet described.

L5 ANSWER 13 OF 13 BIOSIS COPYRIGHT 2003 BIOLOGICAL ABSTRACTS INC.DUPLICATE 7

ACCESSION NUMBER: 1987:399293 BIOSIS
DOCUMENT NUMBER: BA84:75473
TITLE: GENERAL ESTERASE **MALATHION CARBOXYLESTERASE** AND MALATHION RESISTANCE IN CULEX-**TARSALIS**.
AUTHOR(S): ZIEGLER R; WHYARD S; DOWNE A E R; WYATT G R; WALKER V K
CORPORATE SOURCE: DEP. BIOCHEM., BIOSCIENCES W., UNIV. ARIZ., TUSCON, ARIZ. 85721.
SOURCE: PESTIC BIOCHEM PHYSIOL, (1987) 28 (2), 279-285. CODEN: PCBPBS. ISSN: 0048-3575.
FILE SEGMENT: BA; OLD
LANGUAGE: English

AB The role of esterases in malathion resistance in Culex **tarsalis** has been investigated. When larvae of a resistant and a sensitive strain were placed in water containing [14C]malathion, malathion penetrated to give initially similar internal levels. With resistant mosquitoes, after 15 min the internal malathion concentration decreased to low levels while the monoacid degradation products accumulated in the larvae and were

excreted into the surrounding water, whereas in susceptible larvae the internal malathion level stayed high and was lethal. It is suggested that the decrease in internal malathion and the resulting resistance were caused by an active **malathion carboxylesterase** in the resistant strain. A specific assay for **malathion carboxylesterase** with [14C]malathion showed 55 times more activity in resistant than in suscepbible larvae, whereas when general esterase activity was assayed with .alpha.-naphthyl acetate only 1.7 times the activity was found. Analyses by starch gel electrophoresis showed a peak of malathion carboxylestease, 60-fold higher from resistant than from susceptible larvae, in a gel zone which did not strain for general esterase activity. General esterases that did not hydrolyze malathion showed different electrophoretic patterns in the two populations, which are likely due to the nonisogenic **character** of the strains. These results show that use of a specific assay and the demonstration of degradation of malathion in vivo are essential for assessment of the contribution of esterase activity to the malathion-resistant phenotype in mosquito populations.

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(FILE 'HOME' ENTERED AT 14:20:37 ON 22 MAY 2003)

INDEX 'ADISCTI, ADISINSIGHT, ADISNEWS, AGRICOLA, ANABSTR, AQUASCI, BIOBUSINESS, BIOCOMMERCE, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CANCERLIT, CAPLUS, CEABA-VTB, CEN, CIN, CONFSCI, CROPB, CROPU, DDFB, DDFU, DGENE, DRUGB, DRUGLAUNCH, DRUGMONOG2, ...' ENTERED AT 14:21:05 ON 22 MAY 2003

SEA CARBOXYLESTERASE

17	FILE ADISCTI
3	FILE ADISINSIGHT
2	FILE ADISNEWS
335	FILE AGRICOLA
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1624	FILE BIOSIS
182	FILE BIOTECHABS
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529	FILE BIOTECHNO
780	FILE CABA
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2393	FILE CAPLUS
27	FILE CEABA-VTB
1	FILE CIN
55	FILE CONFSCI
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134	FILE DDFB
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356	FILE DGENE
134	FILE DRUGB
2	FILE DRUGNL
255	FILE DRUGU
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1229	FILE GENBANK
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167	FILE JICST-EPLUS
1	FILE KOSMET
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1655	FILE MEDLINE
168	FILE NIOSHTIC
55	FILE NTIS
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1	FILE PHAR
1	FILE PHARMAML
1	FILE PHIN
8	FILE PROMT
1332	FILE SCISEARCH
2195	FILE TOXCENTER
276	FILE USPATFULL
8	FILE USPAT2

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               44   FILE WPIDS
               44   FILE WPINDEX
L1               QUE CARBOXYLESTERASE
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     FILE 'CAPLUS, TOXCENTER, EMBASE, MEDLINE, BIOSIS, SCISEARCH' ENTERED AT
     14:22:09 ON 22 MAY 2003
L2            975 S L1 AND (ORGANOPHOSPAHTE OR MALATHION)
L3           1869 S L1 AND (ORGANOPHOSPHATE OR MALATHION)
L4            487 S L3 AND (HYDROLYSIS OR DEGRAD?)
L5            126 S L4 AND PY>1995
L6             51 DUP REM L5 (75 DUPLICATES REMOVED)
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=> d l6 ibib ab 41-51

L6 ANSWER 41 OF 51 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 97170512 EMBASE
DOCUMENT NUMBER: 1997170512
TITLE: Preventive effect of the extract of Du-Zhong (Tochu) leaf and ginseng root on acute toxicity of chlorpyrifos.
AUTHOR: Furutsu M.; Koyama Y.-I.; Kusakabe M.; Takahashi S.
CORPORATE SOURCE: M. Furutsu, Department of Biochemistry, College of Pharmacy, Nihon University, 7-7-1 Narashinodai, Funabashi, Chiba 274, Japan
SOURCE: Japanese Journal of Toxicology and Environmental Health, (1997) 43/2 (92-100).
Refs: 28
ISSN: 0013-273X CODEN: JJTHEC
COUNTRY: Japan
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 029 Clinical Biochemistry
030 Pharmacology
037 Drug Literature Index
052 Toxicology
LANGUAGE: English
SUMMARY LANGUAGE: English
AB The preventive effects of the extract (DP-extract) prepared from leaves of Eucommia ulmoides OLIVER, Eucommiaceae (Du-Zhong leaf) and roots of Panax ginseng C.A. Meyer (Ginseng), which are widely used as healthful teas, on acute toxicity of chlorpyrifos, a common organophosphorus insecticide, were investigated in mice. A 50% lethal dose of chlorpyrifos was 16.9% higher in the group pretreated with DP-extract for 3 weeks (6.66 g of dried leaves and root/kg/d, p.o.) than in the chlorpyrifos alone group. Cholinesterase (ChE) activities in serum were higher and the residual chlorpyrifos in liver was lower in mice pretreated with DP-extract than in the chlorpyrifos-alone group. Hepatic cytochrome P450 content, activities of NADPH-cytochrome c reductase and **carboxylesterase** (EC3.1.1.1) in livers of DP-extract pretreated mice were significantly higher than those of untreated control immediately after chlorpyrifos injection. The activities of those enzymes were also significantly higher in the DP-extract pretreated mice than the controls. Northern blot analysis of microsomes from livers of DP-extract pretreated mice revealed the increased transcription of NADPH-cytochrome c reductase and **carboxylesterase**. These results suggest that DP-extract increased the activities of cytochrome P450 and **carboxylesterase** and accelerated detoxification of chlorpyrifos to prevent the acute toxicity of the organophosphorus insecticide.

L6 ANSWER 42 OF 51 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 97328778 EMBASE
DOCUMENT NUMBER: 1997328778
TITLE: Serum 'B' esterases as a nondestructive biomarker for monitoring the exposure of reptiles to organophosphorus insecticides.
AUTHOR: Sanchez J.C.; Fossi M.C.; Focardi S.
CORPORATE SOURCE: S. Focardi, Department of Environmental Biology, University of Siena, 53100 Siena, Italy
SOURCE: Ecotoxicology and Environmental Safety, (1997) 38/1 (45-52).
Refs: 34
ISSN: 0147-6513 CODEN: EESADV
COUNTRY: United States
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 046 Environmental Health and Pollution Control
052 Toxicology
LANGUAGE: English
SUMMARY LANGUAGE: English

AB A field study was conducted to validate serum B esterases as nondestructive biomarkers (NDBs) in lizards. Serum butyrylcholinesterase (BChE) and **carboxylesterase** (CbE) activities were measured in lizards and four species of birds collected in an area of 0.5 ha sprayed with 0.36 kg a.i./ha of Folidol SE5 (5% parathion). Serum B esterase activities were determined in a total of 213 lizards (Gallotia galloti) and 81 birds of four species (Sylvia melanocephala, Serinus canaria, Parus caeruleus, and Eritltacus rubecula) collected for 23 days after the spraying. A control group of 39 lizards and 58 birds was sampled before the spraying. No relationship was found between serum B esterases and sex or biometric parameters in all species. Inhibition of BChE (>40%) and CbE (>50%) activities was recorded in lizards 23 days after spraying. BChE activity was found to be more sensitive than CbE to inhibition by parathion. Inhibition of serum B esterase activities was recorded in only two bird species (S. melanocephala and S. canaria), but the number of individuals collected was much less than the lizards; The advantages and disadvantages of G. galloti as bioindicator of exposure to organophosphorus insecticides in the Canary Islands (Spain) are discussed in relation to birds commonly used for this purpose.

L6 ANSWER 43 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 24
ACCESSION NUMBER: 1997:448523 CAPLUS
DOCUMENT NUMBER: 127:172573
TITLE: Biochemistry of esterases associated with **organophosphate** resistance in Lucilia cuprina with comparisons to putative orthologs in other Diptera
AUTHOR(S): Campbell, Peter M.; Trott, Josephine F.; Claudianos, Charles; Smyth, Kerrie-Ann; Russell, Robyn J.; Oakeshott, John G.
CORPORATE SOURCE: Div. Entomology, CSIRO, Canberra, 2601, Australia
SOURCE: Biochemical Genetics (**1997**), 35(1/2), 17-40
CODEN: BIGEBA; ISSN: 0006-2928
PUBLISHER: Plenum
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Esterase activities assocd. with **organophosphate** insecticide resistance in the Australian sheep blowfly, Lucilia cuprina, are compared with similar activities in other Diptera. The enzymes making the major contribution to Me butyrate **hydrolysis** ("ali-esterase") in L. cuprina, M. domestica, and D. melanogaster comigrate during electrophoresis. The enzymes in L. cuprina and D. melanogaster correspond to the naphthyl acetate hydrolyzing E3 and EST23 isoenzymes of those species. These and previously published data suggest that the ali-esterases of all 3 species are orthologous. Strains of L. cuprina fall into 4 groups on the basis of quant. detns. of their ali-esterase, OP hydrolase, and **malathion carboxylesterase** activities and these groups correspond to their status with respect to 2 types of OP resistance. Strains susceptible to OPs have high ali-esterase, low OP hydrolase, and intermediate MCE activities; those resistant to **malathion** but not diazinon have low ali-esterase, intermediate OP hydrolase, and high MCE activities; those resistant to diazinon but not **malathion** have low ali-esterase, high OP hydrolase, and low MCE activities; those resistant to both OPs have low ali-esterase, high OP hydrolase, and high MCE activities. The correlated changes among the 3 biochem. and 2 resistance phenotypes suggest that they are all properties of one gene/enzyme system; 3 major allelic variants of that system explain OP susceptibility and the 2 types of OP resistance. Models are proposed to explain the joint contribution of OP hydrolase and MCE activities to **malathion** resistance and the invariant assocn. of low ali-esterase and elevated OP hydrolase activities in either type of resistance.

L6 ANSWER 44 OF 51 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 97:31717 SCISEARCH

THE GENUINE ARTICLE: VZ611
TITLE: Interspecies differences in enzymes reacting with **organophosphates** and their inhibition by paraoxon in vitro
AUTHOR: KalisteKorhonen E (Reprint); Tuovinen K; Hanninen O
CORPORATE SOURCE: UNIV KUOPIO, NATL LAB ANIM CTR, POB 1627, FIN-70211 KUOPIO, FINLAND (Reprint); UNIV KUOPIO, DEPT PHYSIOL, FIN-70211 KUOPIO, FINLAND
COUNTRY OF AUTHOR: FINLAND
SOURCE: HUMAN & EXPERIMENTAL TOXICOLOGY, (**DEC 1996**) Vol. 15, No. 12, pp. 972-978.
Publisher: STOCKTON PRESS, HOUNDMILLS, BASINGSTOKE, HAMPSHIRE, ENGLAND RG21 6XS.
ISSN: 0144-5952.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: LIFE
LANGUAGE: English
REFERENCE COUNT: 23

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB 1 Inhibition of cholinesterases (ChE) and **carboxylesterases** (CaE) by paraoxon (Px) was studied in vivo in the serum, liver, lung and muscle of mouse, guineapig, rabbit and man (serum only). Moreover, the role of Px hydrolyzing enzyme (Pxase) in the detoxification of Px was studied by inhibiting its activity with EDTA.

2 The ChE and CaE activities as well as their sensitivity to Px varied in different tissues and species. The ChEs were more sensitive than CaEs to Px except in the liver. The CaE activity in human and rabbit sera was low and resistant to Px, indicating that it may have a minor importance for the binding of Px.

3 The Px-inhibited ChEs were spontaneously reactivated in the mouse and rabbit sera during 24 h. In mouse, also the CaE activity was recovered. The presence of EDTA in the incubation medium prevented this reactivation indicating that Pxase takes part in the reactivation process.

4 In rabbit, the serum Pxase activity was very high suggesting a good Px detoxifying capacity of the rabbit serum.

5 The results show that amounts and sensitivities of esterases to OPs in rodents may markedly differ from that in man. Possible species-related differences in the affinity of ChEs and CaEs for OPs and the OP hydrolyzing activity should be taken into the consideration, when animal data are extrapolated to man.

L6 ANSWER 45 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 25
ACCESSION NUMBER: 1996:743517 CAPLUS
DOCUMENT NUMBER: 126:140649
TITLE: **Hydrolysis** of parabenes by extracts from differing layers of human skin
AUTHOR(S): Lobemeier, Claudia; Tschoetschel, Carla; Westie, Sonja; Heymann, Eberhard
CORPORATE SOURCE: Physiological Chem., Univ. Osnabrueck, Osnabrueck, D-49069, Germany
SOURCE: Biological Chemistry (**1996**), 377(10), 647-651
CODEN: BICHF3; ISSN: 1431-6730
PUBLISHER: de Gruyter
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Four **carboxylesterases** were capable of hydrolyzing 4-hydroxybenzoic acid esters in human skin and s.c. fat tissue. The highest specific activities were found in an ext. from s.c. fat tissue. The most prominent esterase of this tissue prefers the Me ester of 4-hydroxybenzoic ester (Me parabene). Its activity decreases with increasing chain length of the alc. moiety of the parabenes. The existence of a 2nd parabene esterase in s.c. fat is concluded from **organophosphate** inhibition characteristics. Another prominent

parabene esterase was characterized in exts. from transformed keratinocytes. It prefers Bu parabene and its activity decreases with decreasing chain length of the alc. moiety. The 4th parabene esterase is an enzyme in blood which contaminates the tissue exts. used here. All of the tissue exts. were active at pH 8.0.

L6 ANSWER 46 OF 51 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 96:338761 SCISEARCH
THE GENUINE ARTICLE: UG570
TITLE: INTERACTION OF ORGANOPHOSPHORUS COMPOUNDS WITH **CARBOXYLESTERASES** IN THE RAT
AUTHOR: JOKANOVIC M (Reprint); KOSANOVIC M; MAKSIMOVIC M
CORPORATE SOURCE: UNIV PADUA, IST MED LAVORO, VIA FACCIOLATI 71, I-35127 PADUA, ITALY (Reprint); FAC PHARM BELGRADE, DEPT TOXICOL, YU-11000 BELGRADE, YUGOSLAVIA
COUNTRY OF AUTHOR: ITALY; YUGOSLAVIA
SOURCE: ARCHIVES OF TOXICOLOGY, (**APR 1996**) Vol. 70, No. 7, pp. 444-450.
ISSN: 0340-5761.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: LIFE
LANGUAGE: ENGLISH
REFERENCE COUNT: 22

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB **Carboxylesterases** (CarbE) are involved in detoxication of organophosphorus compounds (OPC) through two mechanisms: **hydrolysis** of ester bonds in OPC which contain them and binding of OPC at the active site of CarbE which reduces the amount of OPC available for acetylcholinesterase inhibition. This study of the interaction of rat plasma and liver CarbE with dichlorvos, soman and sarin in vitro and in vivo was undertaken in order to contribute to better understanding of the role of CarbE in detoxication of OPC. The results obtained have shown that inhibitory potency (I-50) of dichlorvos, sarin and soman towards rat liver CarbE was 0.2 mu M, 0.5 mu M and 4.5 mu M, respectively, for 20-min incubation at 25 degrees C. Second-order rate constants (k(a)) for liver CarbE inhibition were 2.3 x 10(5) M(-1) min(-1), 6.9 x 10(4) M(-1) min(-1) and 1.1 x 10(4) M(-1) min(-1) for dichlorvos, sarin and soman, respectively. The corresponding values for plasma CarbE could not be calculated because of dominant spontaneous reactivation of inhibited CarbE. CarbE inhibited with these OPC in vitro spontaneously reactivate with half-times of 18, 143 and 497 min for sarin, dichlorvos and soman in plasma and 111, 163 and 297 mill for sarin, soman and dichlorvos in liver, respectively. These results were also confirmed in experiments in vivo in which rats were subcutaneously treated with 0.5 LD(50) of these agents. The half-times of spontaneous reactivation of rat plasma CarbE in vivo were 1.2, 2.0 and 2.7 h for dichlorvos, sarin and soman, respectively. These findings have changed current understanding of the mechanism of interaction of CarbE with OPC and involvement of the enzymes in detoxication of OPC, suggesting an active and important role of the enzymes in metabolic conversions of OPC to their less toxic metabolites.

L6 ANSWER 47 OF 51 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 96:785530 SCISEARCH
THE GENUINE ARTICLE: VN707
TITLE: EPTASTIGMINE-PHOSPHOTRIESTERASE COMBINATION IN DFP INTOXICATION
AUTHOR: TUOVINEN K (Reprint); KALISTEKORHONEN E; RAUSHEL F M; HANNINEN O
CORPORATE SOURCE: UNIV KUOPIO, DEPT PHYSIOL, POB 1627, SF-70211 KUOPIO, FINLAND (Reprint); UNIV KUOPIO, NATL LAB ANIM CTR, SF-70211 KUOPIO, FINLAND; TEXAS A&M UNIV, DEPT CHEM, COLLEGE STN, TX, 77843
COUNTRY OF AUTHOR: FINLAND; USA
SOURCE: TOXICOLOGY AND APPLIED PHARMACOLOGY, (**OCT 1996**)

Vol. 140, No. 2, pp. 364-369.
ISSN: 0041-008X.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: LIFE
LANGUAGE: ENGLISH
REFERENCE COUNT: 32
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB A novel therapy against **organophosphate** exposure, the combination of a carbamate eptastigmine and an **organophosphate** hydrolase (phosphotriesterase) was studied in mice against diisopropylfluorophosphate (DFP) (1.75 mg/kg) exposure. Mice received eptastigmine (0.9 mg/kg; iv) 10 min prior to the ip injection of DFP. Phosphotriesterase (83 U/g body weight) was injected iv 10 min after DFP. Eptastigmine (1.5 mg/kg; iv) inhibited the acetylcholinesterase activities in brain and erythrocytes for a longer time than physostigmine, Eptastigmine caused only minor changes in the behavior and activity of the animals, whereas physostigmine clearly reduced their activity for about 30 min. The eptastigmine pretreatment clearly supplemented the protective effect of phosphotriesterase against DFP: the plasma butyrylcholinesterase activity was doubled and the activity recovered faster than in animals treated with phosphotriesterase alone. In lung, butyrylcholinesterase activity was initially lower after eptastigmine- phosphotriesterase than phosphotriesterase treatment alone, However, the activity returned 24 hr later to normal in eptastigmine-phosphotriesterase-treated groups, With phosphotriesterase only, it recovered only to 75% of the control level. Presumably eptastigmine, by preventing the binding of DFP to cholinesterases, caused an elevation of free DFP levels in body fluids and promoted phosphotriesterase **hydrolysis** of DFP. (C) 1996 Academic Press, Inc.

L6 ANSWER 48 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 26
ACCESSION NUMBER: 1996:292073 CAPLUS
DOCUMENT NUMBER: 124:335641
TITLE: Inhibition by insecticides of partially purified **carboxylesterase** from Aphis gossypii (Homoptera: Aphididae)
AUTHOR(S): Owusu, Ebenezer O.; Horiike, Michio; Hirano, Chisato
CORPORATE SOURCE: Pesticide Research Laboratory, Kochi University, Nankoku, 783, Japan
SOURCE: Journal of Economic Entomology (**1996**), 89(2), 307-310
CODEN: JEENAI; ISSN: 0022-0493
PUBLISHER: Entomological Society of America
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Inhibition of partially purified **carboxylesterase** from a dichlorvos selected (E-D-R) strain of cotton aphid, Aphis gossypii (Glover), by selected insecticides was demonstrated in vitro. Regeneration of active enzymes was not obsd. up to 5 h after removal of excess inhibitor. This result indicates that pesticide **hydrolysis** is not a likely resistance mechanism in A. gossypii. **Carboxylesterases** from this aphid seem likely to bind permanently to inhibitors, rendering them ineffective and thus protecting the active sites of pesticide inhibition. Of 2 **organophosphate** and 2 carbamate insecticides tested, dichlorvos was most inhibitory. The order of toxicity ranked dichlorvos > naled > carbaryl > m-tolyl methylcarbamate.

L6 ANSWER 49 OF 51 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 96:227285 SCISEARCH
THE GENUINE ARTICLE: UA518
TITLE: THE PERSISTENCE AND FATE OF **MALATHION** RESIDUES IN STORED BEANS (PHASEOLUS-VULGARIS) AND MAIZE (ZEA-MAYS)
AUTHOR: LALAH J O; WANDIGA S O (Reprint)

CORPORATE SOURCE: UNIV NAIROBI, COLL BIOL & PHYS SCI, DEPT CHEM, POB 30197, NAIROBI, KENYA (Reprint); UNIV NAIROBI, COLL BIOL & PHYS SCI, DEPT CHEM, NAIROBI, KENYA
COUNTRY OF AUTHOR: KENYA
SOURCE: PESTICIDE SCIENCE, (**MAR 1996**) Vol. 46, No. 3, pp. 215-220.
ISSN: 0031-613X.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: AGRI
LANGUAGE: ENGLISH
REFERENCE COUNT: 15

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Two experimental models simulating the traditional storage conditions prevalent in Kenya, i.e. the open basket model and the modern wooden box model, were used to study the rate of dissipation and fate of **malathion** residues in maize grains and beans stored for periods of up to one year at ambient temperatures averaging 23 degrees C. The grain samples were initially treated with 10.36 mg kg(-1) of radiolabelled **malathion** dust prior to storage and portions analysed at regular intervals for **malathion**, malaoxon and the transformation products isomalathion, **malathion** alpha-monocarboxylic acid and **malathion** beta-monocarboxylic acid using a combination of chromatographic, radioisotopic and mass-spectrometric techniques.

The findings showed a gradual penetration of **malathion** into the grains in amounts which were slightly higher in maize than in beans irrespective of the method of storage. After 51 weeks of storage, 34-60% of the initial residues persisted in all the grains. The total residual levels were slightly higher in beans than in maize irrespective of the storage methods though the persistence was a little higher in the wooden box than in the open basket. The rates of dissipation of the pesticide from the grains decreased with storage time and followed a biphasic pattern. Applying first-order reaction kinetics, the following half-lives were obtained: maize grains stored in open basket: 194 days; maize grains stored in closed wooden box: 261 days; beans stored in open basket: 259 days; beans stored in closed wooden box: 405 days. Beans stored in the wooden box had higher levels of bound residues than those sampled from the open basket. This trend was similar in maize grains although the concentrations were lower. The analysis of **malathion** metabolites confirmed the **degradation** trend of the residues.

L6 ANSWER 50 OF 51 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1996:358942 CAPLUS
DOCUMENT NUMBER: 125:28019
TITLE: Protection of **organophosphate**-inactivated esterases with phosphotriesterase
AUTHOR(S): Tuovinen, Kai; Kaliste-Korhonen, Eila; Raushel, Frank M.; Hanninen, Osmo
CORPORATE SOURCE: Dep. Physiology, Univ. Kuopio, Kuopio, FIN-70211, Finland
SOURCE: Fundamental and Applied Toxicology (**1996**), 31(2), 210-217
CODEN: FAATDF; ISSN: 0272-0590
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The protective effect of phosphotriesterase (PTE) on cholinesterase (ChE) and **carboxylesterase** (CaE) activities was studied in mice. The PTE per-treatment (120 U/g body wt., 9.6 .mu.g/g body wt.) given i.v. 10 min before diisopropyl fluorophosphate, sarin, or soman variably prevented ChE inhibition in erythrocytes and plasma and CaE in plasma. PTE also protected the brain and lung ChEs against inactivation by **organophosphates** (OPs). The recovery of the enzymes was dependent on the OP used. Postexposure therapy with PTE, given 1.5 h after paraoxon, also prevented ChE inhibition in erythrocytes, brain, and lung

24 h after exposure. The distribution studies with [125I]PTE showed that PTE does not markedly gain access into the central nervous system.

L6 ANSWER 51 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 27
ACCESSION NUMBER: 1996:352828 CAPLUS
DOCUMENT NUMBER: 125:28249
TITLE: Purification and characterization of **carboxylesterases** of a rice green leafhopper Nephotettix cincticeps
AUTHOR(S): Chiang, Shih-Wen; Sun, Chih-Ning
CORPORATE SOURCE: Dep. Entomology, National Chung-Hsing Univ., Taichung, 40227, Taiwan
SOURCE: Pesticide Biochemistry and Physiology (**1996**), 54(3), 181-189
CODEN: PCBPBS; ISSN: 0048-3575
PUBLISHER: Academic
DOCUMENT TYPE: Journal
LANGUAGE: English

AB More than four **carboxylesterase** isoenzymes in the homogenate of a rice green leafhopper could be resolved by isoelec. focusing electrophoresis. A combination of ammonium sulfate fractionation, gel filtration, and chromatofocusing chromatog. was used to isolate and purify these isoenzymes. Four fractions, i.e., E1, E2, E3, and E4, with pI's ranging from 5.1 to 4.85, were obtained. The most abundant E3 had a mol. mass of 58.6 kDa and appeared electrophoretically homogeneous on SDS-PAGE. [1,3-3H]Diisopropyl fluorophosphate-labeling expt. revealed that the proteins of 58.6 kDa, a minor component of E2 and the major component of E4, were the **carboxylesterase** isoenzymes sought. A protein of the same mol. wt. which existed in a very minute amt. in E1 and was barely detectable on SDS-PAGE by Coomassie blue staining was actually the **carboxylesterase** isoenzyme of pI 5.1. All four fractions exhibited significant activity toward several mode substrates with .alpha.-naphthyl butyrate being the most preferred. Their activity toward **malathion**, permethrin, and cypermethrin was ca. 106-fold lower than their activity toward the model substrates. The pyrethroids were hydrolyzed more readily than **malathion** by these hydrolases, and cis-permethrin was more preferred than the trans-isomer. E4 was the only fraction that cross-reacted with the antiserum against **carboxylesterases** of a rice brown planthopper, Nilapavata lugens. Among the four isoenzyme fractions, E3, the most abundant, showed low activity toward all four insecticides and was the least active fraction toward cis-permethrin and cypermethrin. A field strain of N. cincticeps had 26- to 37-fold higher **carboxylesterase** activity toward the model substrates than a susceptible strain. Yet, little, if any, difference in the **hydrolysis** of **malathion**, permethrin, and cypermethrin was obsd. between these two stains. The field strain produced .gtoreq.8 times more **carboxylesterases** than the susceptible strain.

L6 ANSWER 30 OF 51 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 2000:56242 CAPLUS
DOCUMENT NUMBER: 132:344746
TITLE: In vitro estimation of the enzymatic **hydrolysis** of **malathion** and its O,O-dialkyl analogs (C1-C4) enantiomers
AUTHOR(S): Polec, Iwona; Legocki, Jan; Czajka, Magdalena
CORPORATE SOURCE: Instytut Przemyslu Organicznego, Warsaw, 03-236, Pol.
SOURCE: Organika (**1999**), Volume Date 1997-1998, (Pt. 2), 21-30
CODEN: ORGAD2; ISSN: 0137-9933
PUBLISHER: Instytut Przemyslu Organicznego
DOCUMENT TYPE: Journal
LANGUAGE: Polish

AB **Malathion** [S-1,2-di(ethoxycarbonyl)ethyl-O,O-dimethyl dithiophosphate], and its O,O-dialkyl derivs. (R = C1-C4) enantiomers were exposed to the action of **carboxylesterase** from rabbit liver. Michaelis consts. (Km) and maximal enzymic reaction rates (Vmax) were detd. for each of examd. compds. HPLC was used as the anal. method; the quantity of studied substrate was measured after the limited unit of time of the enzymic **hydrolysis** duration, and then compared with the adequate ref. pattern. It has been concluded that in the range of used substrates concns., the affinity of enzyme (expressed as Km) increased with an increase of the no. of carbon atoms in alkyl chain. Maximum rate of enzymic **hydrolysis** has been shown for O,O-di-Et **malathion** deriv. No clear relationship between estd. Km and Vmax values, and configuration of the tested compds. enantiomers was found.

L6 ANSWER 31 OF 51 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 1999:36043 SCISEARCH
THE GENUINE ARTICLE: 151TY
TITLE: Chicken serum albumin hydrolyzes dichlorophenyl phosphoramidates by a mechanism based on transient phosphorylation
AUTHOR: Sogorb M A; Monroy A; Vilanova E (Reprint)
CORPORATE SOURCE: UNIV MIGUEL HERNANDEZ, FAC MED, UPD GENET NUTR & TOXICOL, DIV TOXICOL, E-03550 ALICANTE, SPAIN (Reprint); UNIV MIGUEL HERNANDEZ, INST BIOINGN, UNIDAD TOXICOL & SEGURIDAD QUIM, ALICANTE, SPAIN
COUNTRY OF AUTHOR: SPAIN
SOURCE: CHEMICAL RESEARCH IN TOXICOLOGY, (**DEC 1998**) Vol. 11, No. 12, pp. 1441-1446.
Publisher: AMER CHEMICAL SOC, 1155 16TH ST, NW, WASHINGTON, DC 20036.
ISSN: 0893-228X.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: LIFE
LANGUAGE: English
REFERENCE COUNT: 31

ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB The hydrolyzing activities of O-hexyl O-2,5-dichlorophenyl phosphoramidate (HDCP, and p-nitrophenyl butyrate (p-NPB) in chicken serum had been found to copurify in the same protein, identified as albumin, The hydrolyzing activities of both chicken ser um and commercial serum albumins from different species were inhibited in a dose-dependent manner by short chain fatty acids. On simultaneous incubation of chicken serum with HDCP and p-NPB, a competitive interaction was detected between the two substrates, This behavior suggests that both are hydrolyzed in the same albumin active site. When chicken serum was preincubated with one of the substrates, and the latter were withdrawn by large dilution, the hydrolyzing activities with both substrates were found to be reduced. This reduction was in turn dependent upon the time of preincubation with the first substrate. These results suggest that HDCP and p-NPB are hydrolyzed

by the same albumin active site, via a mechanism based on transient phosphorylation/acylation of the active site. The proposed **hydrolysis** mechanism would account for the hydrolytic kinetics of both substrates.

L6 ANSWER 32 OF 51 SCISEARCH COPYRIGHT 2003 THOMSON ISI
ACCESSION NUMBER: 1998:362837 SCISEARCH
THE GENUINE ARTICLE: ZL902
TITLE: Cross-resistance patterns among Lucilia cuprina (Diptera: Calliphoridae) resistant to organophosphorus insecticides
AUTHOR: Campbell P M (Reprint); Yen J L; Masoumi A; Russell R J; Batterham P; McKenzie J A; Oakeshott J G
CORPORATE SOURCE: CSIRO, DIV ENTOMOL, POB 1700, CANBERRA, ACT 2601, AUSTRALIA (Reprint)
COUNTRY OF AUTHOR: AUSTRALIA
SOURCE: JOURNAL OF ECONOMIC ENTOMOLOGY, (**APR 1998**) Vol. 91, No. 2, pp. 367-375.
Publisher: ENTOMOL SOC AMER, 9301 ANNAPOLIS RD, LANHAM, MD 20706.
ISSN: 0022-0493.
DOCUMENT TYPE: Article; Journal
FILE SEGMENT: AGRI
LANGUAGE: English
REFERENCE COUNT: 43
ABSTRACT IS AVAILABLE IN THE ALL AND IALL FORMATS

AB Strains of Lucilia cuprina (Wiedemann) have been characterized as having low, intermediate, or high levels of esterase-mediated **hydrolysis** Of the organophosphorus insecticide, chlorfenvinphos. These levels correlate respectively with susceptibility to organophosphorus insecticides, **malathion** resistance, or diazinon resistance. Diazinon and chlorfenvinphos are diethyl organophosphorus insecticides having 2 ethoxy groups attached to their central phosphorus atom, whereas **malathion** is a dimethyl organophosphorus insecticide having 2 methoxy groups attached to its phosphorus atom, and, unusually, **malathion** also has 2 carboxylester bonds in addition to the phosphoester bonds that define organophosphorus compounds. We tested larvae for resistance to diazinon and also assessed representative **malathion**-resistant and diazinon-resistant L. cuprina strains at the adult stage for resistance to 12 organophosphorus insecticides, including analog pairs differing only in respect to their dimethyl-diethyl status. Two **malathion**-resistant strains have low-level cross-resistance to diazinon (3 to 4-fold), 4 diazinon-resistant strains have high-level diazinon resistance (11 to 16-fold), and 2 strains with a combined (**malathion** plus diazinon) resistance type also have high-level diazinon resistance (17 to 18-fold) relative to 3 organophosphorus insecticide-susceptible strains. One of the diazinon-resistant strains showed approximate to 2 times greater resistance factors toward diethyl organophosphorus insecticides than their dimethyl analogs while (leaving aside **malathion** to consider only the majority which have no carboxylester groups) a **malathion** -resistant strain showed 2-5 times greater resistance factors toward the dimethyl organophosphorus insectides than their diethyl analogs. The diazinon-resistant strain showed no resistance to 2 di-isopropyl organophosphorus compounds or to 2 organophosphorus insecticides which are asymmetric about the phosphorus atom (optically active). The **malathion**-resistant strain showed only slight resistance (<3-fold) to either the di-isopropyl or optically active organophosphorus insecticides, including the di-isobropyl analog of **malathion**. These cross-resistance patterns parallel those of certain organophosphorus insecticide-resistant strains of Musca domestica L., in which diazinon and **malathion** resistances also are proposed to be esterase mediated, reinforcing other biochemical data suggesting a general mechanism among the higher Diptera.

L6 ANSWER 33 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 20
ACCESSION NUMBER: 1998:291581 CAPLUS
DOCUMENT NUMBER: 129:50806
TITLE: **Malathion**-specific resistance in a strain of the rust red grain beetle Cryptolestes ferrugineus (Coleoptera: Cucujidae)
AUTHOR(S): Spencer, A. G.; Price, N. R.; Callaghan, A.
CORPORATE SOURCE: School of Animal and Microbial Sciences, University of Reading, Reading, RG6 6AJ, UK
SOURCE: Bulletin of Entomological Research (**1998**), 88(2), 199-206
CODEN: BEREA2; ISSN: 0007-4853
PUBLISHER: CAB International
DOCUMENT TYPE: Journal
LANGUAGE: English
AB A strain of Cryptolestes ferrugineus (Stephens) bred for **malathion** -specific resistance was found to be 650 fold resistant at LD50 when compared with a susceptible strain bred from the same stock. Resistance was >98% synergized by tri-Ph phosphate and S,S,S-tri-Bu phosphorotrithioate, but unaffected by piperonyl butoxide. AChE inhibition by malaoxon varied slightly between the strains. Non-specific esterase activity as measured by the **hydrolysis** of .alpha.-naphthyl acetate was slightly reduced in the resistant strain whereas there were no inter-strain differences in the **hydrolysis** of .beta.-naphthyl acetate. Products of in vitro metab. of **malathion** were identified by TLC and gas chromatog.-mass spectrometry as .alpha.- and .beta.-**malathion** mono-acids. Thus, resistance was due to the hydrolytic breakdown of **malathion** by a **malathion**-specific **carboxylesterase**. The rate of in vitro **malathion hydrolysis** was found to be 31 times greater in the resistant strain. In vitro inhibition studies indicated that resistance is attributable to a **carboxylesterase** unique to the resistant strain. The implications of these results are discussed in relation to work recently carried out on **malathion**-specific resistance in dipterous species.
REFERENCE COUNT: 54 THERE ARE 54 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 34 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 21
ACCESSION NUMBER: 1998:447815 CAPLUS
DOCUMENT NUMBER: 129:172411
TITLE: Two different amino acid substitutions in the ali-esterase, E3, confer alternative types of organophosphorus insecticide resistance in the sheep blowfly, Lucilia cuprina
AUTHOR(S): Campbell, Peter M.; Newcomb, Richard D.; Russell, Robyn J.; Oakeshott, John G.
CORPORATE SOURCE: Division of Entomology, Industrial Research Organisation, Cranberra, 2601, Australia
SOURCE: Insect Biochemistry and Molecular Biology (**1998**), 28(3), 139-150
CODEN: IBMBES; ISSN: 0965-1748
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB Two types of organophosphorus (OP) insecticide resistance are assocd. with reduced 'ali-esterase' (E3 isoenzyme) activity in Lucilia cuprina. The 'diazinon' resistance type shows generally greater resistance for di-Et than di-Me OPs but no resistance to **malathion**. The ' **malathion**' resistance type shows generally greater resistance for di-Me than di-Et OPs, low level diazinon resistance, but exceptionally high **malathion** resistance (600 x susceptible), the last being attributed to **hydrolysis** of the carboxylester groups which are peculiar to **malathion** (**malathion**

carboxylesterase, MCE). E3 variants from diazinon resistant strains have previously been shown to have a Gly137 .fwdarw. Asp substitution that structural modeling predicts is only about 4.6 .ANG. from the .gamma. oxygen of the catalytic serine residue. Here we show that E3 variants from **malathion** resistant strains have a Trp251 .fwdarw. Leu substitution predicted to be about 4.3 .ANG. from that serine. We have expressed alleles of the gene encoding both resistance variants of E3 and an OP susceptible variant in a baculovirus system and compared the kinetics of their products. We find that both resistance substitutions reduce ali-esterase activity and enhance OP hydrolase activity. Furthermore the Gly137 .fwdarw. Asp substitution enhances OP hydrolase activity for a di-Et OP substrate (chlorfenvinphos) more than does the Trp251 .fwdarw. Leu substitution, which is consistent with the OP cross-resistance patterns. Trp251 .fwdarw. Leu also reduces the Km for carboxylester **hydrolysis** of **malathion** about 10-fold to 21 .mu.M, which is consistent with increased MCE activity in **malathion** resistant strains. We then present a model in which the **malathion carboxylesterase** activity of the E3-Leu251 enzyme is enhanced in vivo by its OP hydrolase activity. The latter activity enables it to reactivate after phosphorylation by malaoxon, the activated form of **malathion**, accounting for the exceptionally high level of resistance to **malathion**. We conclude that the two types of resistance can be explained by kinetic changes caused by the two allelic substitutions in the E3 enzyme.

REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L6 ANSWER 35 OF 51 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.

ACCESSION NUMBER: 1998200920 EMBASE

TITLE: Purification, molecular characterization and catalytic properties of a Pseudomonas fluorescens enzyme having cholinesterase-like activity.

AUTHOR: Rochu D.; Rothlisberger C.; Taupin C.; Renault F.; Gagnon J.; Masson P.

CORPORATE SOURCE: P. Masson, Ctr. Recherches Serv. Sante Armees, Unite d'Enzymologie, BP 87, 38702 La Tronche Cedex, France. 100335.404@compuserve.com

SOURCE: Biochimica et Biophysica Acta - Protein Structure and Molecular Enzymology, (1998) 1385/1 (126-138). Refs: 64 ISSN: 0167-4838 CODEN: BBAEDZ

PUBLISHER IDENT.: S 0167-4838(98)00042-9

COUNTRY: Netherlands

DOCUMENT TYPE: Journal; Article

FILE SEGMENT: 004 Microbiology

LANGUAGE: English

SUMMARY LANGUAGE: English

AB An enzyme with a cholinesterase (ChE) activity, produced by Pseudomonas fluorescens, was purified to homogeneity in a three-step procedure. Analysis by non-denaturing and SDS-PAGE, and by isoelectric focusing, indicated that the enzyme was a monomer of 43 kDa, with a pI of 6.1. The N-terminal sequence, AEPLKAVGAGEGQLDIVAWPGYIEA, showed some similarities with proteins of the ChE family and a strong similarity with a protein from Escherichia coli with unknown structure and function. Cholinesterase activity at pH 7.0 and 25.degree.C was maximum with propionylthiocholine as substrate (k(cat,app)=670 min-1), followed by acetylthiocholine, and significantly lower with butyrylthiocholine. Catalytic specificity (k(cat)/K(m)) was the same for propionylthiocholine and acetylthiocholine, but was two orders of magnitude lower for butyrylthiocholine. Kinetics of thiocholine ester **hydrolysis** showed inhibition by excess substrate which was ascribed to binding of a second substrate molecule, leading to non-productive ternary complex (K(m)=35 .mu.M, K(SS)=0.49 mM with propionylthiocholine). There was low or no reactivity with **organophosphates** and carbamates. The enzyme inhibited by

echothiophate (k(II)=0.44x102 M-1 min-1) was not reactivated by pralidoxime methiodide. However, the P. fluorescens enzyme had affinity for procainamide and decamethonium, two reversible ChE inhibitors used as affinity chromatography ligand and eluant, respectively. Although similarity of the N-terminal amino acid sequence of the enzyme with an internal sequence of ChEs is weak, its catalytic activity towards thiocholine esters, and its affinity for positively charged ligands supports the contention that this enzyme may belong to the ChE family. However, we cannot rule out that the enzyme belongs to another structural family of proteins having cholinesterase-like properties. The reaction of the enzyme with **organophosphates** suggests that it is a serine esterase, and currently this enzyme may be termed as having a cholinesterase-like activity. Copyright (C) 1998 Elsevier Science B.V.

L6 ANSWER 36 OF 51 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1998:140667 CAPLUS
TITLE: A physiologically based pharmacokinetic and pharmacodynamic model for paraoxon in rainbow trout.
AUTHOR(S): Abbas, Richat
CORPORATE SOURCE: Otsuka America Pharmaceutical, Inc., Rockville, MD, 20850, USA
SOURCE: Book of Abstracts, 215th ACS National Meeting, Dallas, March 29-April 2 (**1998**), AGRO-103. American Chemical Society: Washington, D. C.
CODEN: 65QTAA
DOCUMENT TYPE: Conference; Meeting Abstract
LANGUAGE: English
AB The acute toxicity of parathion is mainly due to the inhibition of acetylcholinesterase (AChE) by its active metabolite paraoxon. To quant. characterize the relationships among **organophosphate** insecticide exposure, target organ concn., AChE inhibition and **carboxylesterase** detoxification a PBPK/PD model was developed. The model structure consisted of brain, heart, liver, kidney and remainder of the body, which were interconnected by blood circulation. Exptl. detd. tissue:blood partition coeffs., synthesis and **degrdn**. rates of AChE, and uptake and depuration clearances of paraoxon were used for the model development. The agreement between simulated and obsd. values indicated that this model was an appropriate tool to predict **organophosphate** insecticide exposure, tissue distribution and the resulting toxic effect, and to quant. est. the degree of protection that **carboxylesterase** provided the fish when they were exposed to paraoxon.

L6 ANSWER 37 OF 51 CAPLUS COPYRIGHT 2003 ACS
ACCESSION NUMBER: 1997:450131 CAPLUS
DOCUMENT NUMBER: 127:77923
TITLE: **Malathion carboxylesterases** of resistant Lucilia cuprina for bioremediation of insecticide contamination
INVENTOR(S): Russell, Robyn Joyce; Newcomb, Richard David; Campbell, Peter Malcolm; Robin, Geoffrey Charles De Quetteville; Claudianos, Charles; Smyth, Kerrie-ann; Boyce, Thomas Mark; Oakeshott, John Graham; Brownlie, Jeremy Colin; et al.
PATENT ASSIGNEE(S): Commonwealth Scientific and Industrial Research Organisation, Australia; Russell, Robyn Joyce; Newcomb, Richard David; Campbell, Peter Malcolm
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9719176	A1	19970529	WO 1996-AU746	19961122 <--
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2236793	AA	19970529	CA 1996-2236793	19961122 <--
AU 9675572	A1	19970611	AU 1996-75572	19961122 <--
AU 700336	B2	19981224		
ZA 9609824	A	19970708	ZA 1996-9824	19961122 <--
EP 862636	A1	19980909	EP 1996-937941	19961122 <--
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
BR 9611627	A	19990406	BR 1996-11627	19961122 <--
JP 2000504203	T2	20000411	JP 1997-519237	19961122 <--
US 6235515	B1	20010522	US 1998-68960	19980520 <--

PRIORITY APPLN. INFO.: AU 1995-6751 A 19951123
WO 1996-AU746 W 19961122

AB Genes and cDNAs encoding **malathion carboxylesterases** of the sheep blowfly (Lucilia cuprina) that are capable of hydrolyzing at least one **organophosphate** selected from the group consisting of carboxylester **organophosphates** and dimethyloxon **organophosphates** are described. Genes encoding several isoenzymes are identified and the enzymes characterized and the preferred enzymes are variants of the isoenzyme encoded by the Lc.alpha.E7 gene. The preferred analogs have an amino acid substitution of Trp-251 selected from the group consisting of Leu, Ser, Ala, Ile, Val, Thr, Cys, Met and Gly. The preferred substituents are Leu and Ser. These substitutions were identified by sequencing of a no. of cloned genes from Lucilia and the orthologous enzyme from Musca domestica.

L6 ANSWER 38 OF 51 CAPLUS COPYRIGHT 2003 ACS DUPLICATE 22
ACCESSION NUMBER: 1997:457602 CAPLUS
DOCUMENT NUMBER: 127:146108
TITLE: A single amino acid substitution converts a **carboxylesterase** to an organophosphorus hydrolase and confers insecticide resistance on a blowfly
AUTHOR(S): Newcomb, R. D.; Campbell, P. M.; Ollis, D. L.; Cheah, E.; Russell, R. J.; Oakeshott, J. G.
CORPORATE SOURCE: Division Entomology, Commonwealth Scientific Industrial Research Organization, Canberra, 2601, Australia
SOURCE: Proceedings of the National Academy of Sciences of the United States of America (**1997**), 94(14), 7464-7468
CODEN: PNASA6; ISSN: 0027-8424
PUBLISHER: National Academy of Sciences
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Resistance to organophosphorus (OP) insecticides is assocd. with decreased **carboxylesterase** activity in several insect species. The resistance may be the result of a mutation in a **carboxylesterase** that simultaneously reduces its **carboxylesterase** activity and confers an OP hydrolase activity (the "mutant aliesterase hypothesis"). In the sheep blowfly, Lucilia cuprina, the assocn. is due to a change in a specific esterase isoenzyme, E3, which, in resistant flies, has a null phenotype on gels stained using std. **carboxylesterase** substrates. The authors show that an OP-resistant allele of the gene that

encodes E3 differs at 5 amino acid replacement sites from a previously described OP-susceptible allele. Knowledge of the structure of a related enzyme (acetylcholinesterase) suggests that one of these substitutions (Gly137.fwdarw.Asp) lies within the active site of the enzyme. The occurrence of this substitution is completely correlated with resistance across 15 isogenic strains. In vitro expression of two natural and two synthetic chimeric alleles shows that the Asp137 substitution alone is responsible for both the loss of E3's **carboxylesterase** activity and the acquisition of a novel OP hydrolase activity. Modeling of Asp137 in the homologous position in acetylcholinesterase suggests that Asp137 may act as a base to orientate a water mol. in the appropriate position for **hydrolysis** of the phosphorylated enzyme intermediate.

L6 ANSWER 39 OF 51 TOXCENTER COPYRIGHT 2003 ACS DUPLICATE 23
ACCESSION NUMBER: 1997:57586 TOXCENTER
DOCUMENT NUMBER: 97364888 PubMed ID: 9221837
TITLE: A physiologically based pharmacokinetic and pharmacodynamic model for paraoxon in rainbow trout
AUTHOR(S): Abbas R; Hayton W L
CORPORATE SOURCE: Division of Pharmaceutics and Pharmaceutical Chemistry, College of Pharmacy, The Ohio State University, Columbus 43210-1291, USA
SOURCE: TOXICOLOGY AND APPLIED PHARMACOLOGY, (**1997 Jul**) 145 (1) 192-201.
Journal Code: 0416575. ISSN: 0041-008X.
COUNTRY: United States
DOCUMENT TYPE: Journal; Article; (JOURNAL ARTICLE)
FILE SEGMENT: MEDLINE
OTHER SOURCE: MEDLINE 97364888
LANGUAGE: English
ENTRY DATE: Entered STN: 20011116
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AB Trout were exposed to an aqueous solution of 75 ng/ml paraoxon for 5 days at 12 degrees C. The relationships among paraoxon concentration in water and target organs, AChE inhibition, and **carboxylesterase** (CaE) detoxification of paraoxon were characterized quantitatively by development of a PBPK-PD model. The PKPD model structure consisted of brain, heart, liver, kidney, and remainder of the body, which were interconnected by blood circulation. The paraoxon tissue/blood partition coefficients were: plasma/water, 1.46; liver/plasma, 5.89; brain/plasma, 3.90; heart/plasma, 2.91; kidney/plasma, 0.45; and blood/plasma, 0.91. Turnover of AChE was characterized from a dose-response study, in which its zero-order synthesis rate and first-order **degradation** rate constant were determined in several tissues; for brain they were 7.67 pmol/min and 7.31 x 10(-5) hr(-1). The uptake and depuration clearances of paraoxon (Cl(u) = 0.651 and Cl(d) = 0.468 ml min(-1) g body wt(-1)) were determined using a compartmental model. During continuous water exposure to paraoxon, AChE activity in the tissues declined to new steady state values that were maintained by the synthesis of new AChE. CaE was shown by simulation to be an important pathway for detoxification of paraoxon.

L6 ANSWER 40 OF 51 EMBASE COPYRIGHT 2003 ELSEVIER SCI. B.V.
ACCESSION NUMBER: 97230850 EMBASE
DOCUMENT NUMBER: 1997230850
TITLE: Purification and characterization of guinea-pig liver microsomal deacetylase involved in the deacetylation of the O-glucoside of N-hydroxyacetanilide.
AUTHOR: Suzuki-Kurasaki M.; Yoshioka T.; Uematsu T.
CORPORATE SOURCE: T. Uematsu, Department of Chemical Hygiene, Hokkaido Inst. Pharmaceutical Sci., Otaru 047-02, Japan
SOURCE: Biochemical Journal, (1997) 325/1 (155-161).
Refs: 51
ISSN: 0264-6021 CODEN: BIJOAK

COUNTRY: United Kingdom
DOCUMENT TYPE: Journal; Article
FILE SEGMENT: 029 Clinical Biochemistry
LANGUAGE: English
SUMMARY LANGUAGE: English
AB A microsomal deacetylase that catalyses the deacetylation of the O-glucoside of N-hydroxyacetanilide (GHA) was purified from guinea-pig liver. The activity was located exclusively in the microsomes and not detected in the cytosol. The purified GHA deacetylase was a trimeric protein with a molecular mass of 160 .+-. 10 (S.D.) kDa composed of subunits of 53 .+-. 2 kDa; its pI was 4.7. The N-terminal amino acid sequence of GHA deacetylase was similar to those reported for guinea-pig and rat liver microsomal **carboxylesterases**. The GHA deacetylase showed a comparable hydrolytic activity towards p-nitrophenyl acetate (PNPA), although the activities towards N-hydroxyacetanilide, acetanilide and some endogenous acylated compounds were very low or not detectable. The deacetylase activity towards GHA was inhibited by **organophosphates** but not by p-chloromercuribenzoate, suggesting that GHA deacetylase can be classified as a B-esterase. The enzyme exhibited a positive homotropic cooperativity towards GHA. The values of the Hill coefficient, the half-saturating concentration ([S]0.5) for GHA, and V(max) were 1.59 .+-. 0.03, 5.51 .+-. 0.07 mM and 32.5 .+-. 1.4 .mu.mol/min per mg respectively, at the optimum pH of 8.5. The bell-shaped pH dependence of the V(max)/[S]0.5 profile indicated pK2 values attributed to histidine and lysine residues. The study of stoi-chiometric inhibition by di-isopropyl fluorophosphate and kinetic analysis with the Monod-Wyman-Changeux model suggests that GHA deacetylase has six substrate binding sites and three catalytically essential serine residues per enzyme molecule.